STN- Structure Search
8/30/06

=> d ibib abs hitstr 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:325685 CAPLUS
DOCUMENT NUMBER: 142:397733
TITLE: Sustained release pharmaceutical compounds to prevent abuse of controlled substances
INVENTOR(S): Mickle, Travis; Krishnan, Suma; Moncrief, James Scott; Lauderback, Christopher; Piccariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of Appl. No. PCT/US03/05525.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080012	A1	20050414	US 2004-923257	20040823
WO 2003072046	A2	20030904	WO 2003-US5525	20030224
WO 2003072046	A3	20050310		

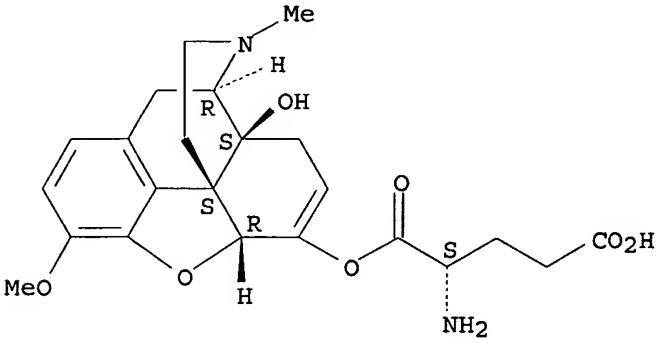
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2006014697	A1	20060119	US 2005-89056		20050325
PRIORITY APPLN. INFO.:			US 2002-358368P	P	20020222
			US 2002-362082P	P	20020307
			WO 2003-US5525	A2	20030224
			US 2001-933708	A2	20010822
			US 2002-358381P	P	20020222
			US 2002-366258P	P	20020322
			US 2002-156527	A2	20020529
			US 2003-507012P	P	20030930
			US 2004-567800P	P	20040505
			US 2004-567802P	P	20040505
			US 2004-568011P	P	20040505
			US 2004-923088	A2	20040823
			US 2004-923257	A2	20040823
			US 2004-953110	A2	20040930
			US 2004-953111	A2	20040930
			US 2004-953116	A2	20040930
			US 2004-953119	A2	20040930
			US 2004-955006	A2	20040930
			WO 2004-US32131	A2	20040930

AB The present invention provides methods for altering controlled substances in a manner that decreases their potential for abuse. The novel compds. may be combined in tablets with suitable excipients or formulated in solution for oral delivery. When delivered by the oral route the controlled substance is released in a time-dependent manner (sustained release) by acid hydrolysis and/or enzymic cleavage. When administered by injection the controlled substance is released in a time-dependent manner (sustained release) by way of serum enzymes. Conjugates such as polyserine-naltrexone and hydrocodone and oxycodone peptide conjugates were prepared and their pharmacokinetics and analgesic effect studied.

IT 592541-12-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sustained release pharmaceutical compds. to prevent abuse of controlled substances)
RN 592541-12-3 CAPLUS
CN L-Glutamic acid, 1-[(5α)-6,7-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methylmorphinan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:802693 CAPLUS
DOCUMENT NUMBER: 141:301467
TITLE: Compounds and methods for lowering the abuse potential and extending the duration of action of a drug, such as an opioid analgesic
INVENTOR(S): Shafer, Jules A.; Telyatnikov, Vladislav V.; Guo, Zhiwei
PATENT ASSIGNEE(S): Controlled Chemicals, Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082620	A2	20040930	WO 2004-US7910	20040315
WO 2004082620	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2518834	AA	20040930	CA 2004-2518834	20040315
US 2004204434	A1	20041014	US 2004-800898	20040315
EP 1603597	A2	20051214	EP 2004-757462	20040315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-454253P P 20030313
WO 2004-US7910 W 20040315

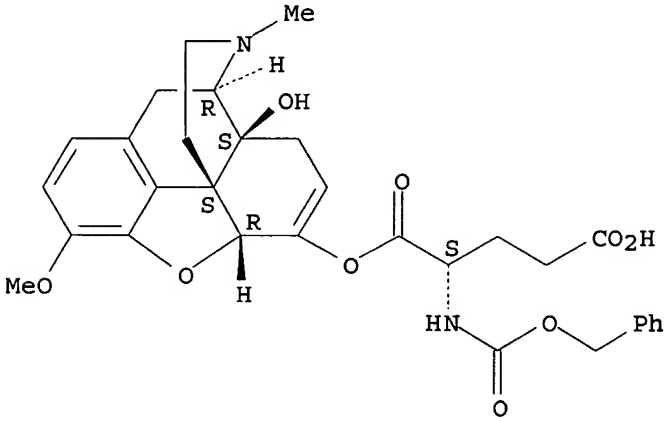
AB The abuse potential of a bioavailable drug, such as an opiate analgesic agent, is reduced and its duration of action is extended by converting it to a poorly absorbed ester prodrug or other prodrug derivative prior to formulation. Unlike many existing sustained release formulations of active pharmaceutical agents wherein an active pharmaceutical agent can be released by chewing, crushing, or otherwise breaking tablets or capsule beads containing the active pharmaceutical agent, such mech. processing of tablets or capsule beads containing a prodrug of this invention neither releases the active drug nor compromises the controlled conversion of prodrug to drug. Moreover, tablets and capsule beads containing prodrugs of this invention or other drugs can be formulated with a sufficient amount of a thickening agent such as hydroxypropyl Me cellulose or CM-cellulose to impede inappropriate i.v. and nasal administration of formulations that are not indicated for these modes of administration. For example, an oxycodone ester prodrug, 2-(benzyloxycarbonylamino)pentanedioic acid 1-(3-methoxy-14-hydroxy-6,7-didehydro-[4,5]α-epoxy-17-methylmorphinan-6-yl) ester was prepared The prodrug had a lower binding affinity for the μ opioid receptor than the analgesic drug oxycodone.

IT 765305-00-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs of opioid analgesic for lowering abuse potential and extending duration of action)

RN 765305-00-8 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(5α)-6,7-didehydro-4,5-epoxy-14-hydroxy-17-methylmorphinan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

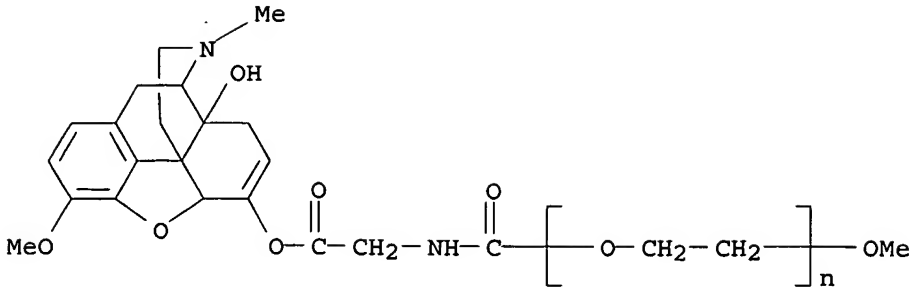


IT 765304-99-2P 765305-01-9P 765305-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs of opioid analgesic for lowering abuse potential and extending duration of action)

RN 765304-99-2 CAPLUS

CN Morphinan-6,14-diol, 6,7-didehydro-4,5-epoxy-3-methoxy-17-methyl-, 6-[5-(1,1-dimethylethoxy)-5-oxopentanoate], (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:696688 CAPLUS
DOCUMENT NUMBER: 139:235409
TITLE: Sustained-release opioid pharmaceuticals for prevention of abuse of controlled substances
INVENTOR(S): Piccariello, Thomas; Kirk, Randal J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072046	A2	20030904	WO 2003-US5525	20030224
WO 2003072046	A3	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477004	AA	20030904	CA 2003-2477004	20030224
AU 2003219863	A1	20030909	AU 2003-219863	20030224
EP 1531844	A2	20050525	EP 2003-716144	20030224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005524648	T2	20050818	JP 2003-570793	20030224
CN 1720059	A	20060111	CN 2003-808716	20030224
US 2005054561	A1	20050310	US 2004-858526	20040601
US 2005080012	A1	20050414	US 2004-923257	20040823
US 2005176645	A1	20050811	US 2004-953116	20040930
US 2006014697	A1	20060119	US 2005-89056	20050325

PRIORITY APPLN. INFO.:

US 2002-358368P	P	20020222
US 2002-362082P	P	20020307
US 2001-933708	A2	20010822
US 2002-358381P	P	20020222
US 2002-366258P	P	20020322
US 2002-156527	A2	20020529
WO 2003-US5525	W	20030224
US 2003-473929P	P	20030529
US 2003-507012P	P	20030930
US 2004-567800P	P	20040505
US 2004-567801P	P	20040505

US	2004-567802P	P 20040505
US	2004-568011P	P 20040505
US	2004-923088	A2 20040823
US	2004-923257	A2 20040823
US	2004-927257	A2 20040827
US	2004-953110	A2 20040930
US	2004-953111	A2 20040930
US	2004-953116	A2 20040930
US	2004-953119	A2 20040930
US	2004-955006	A2 20040930
WO	2004-US32131	A2 20040930

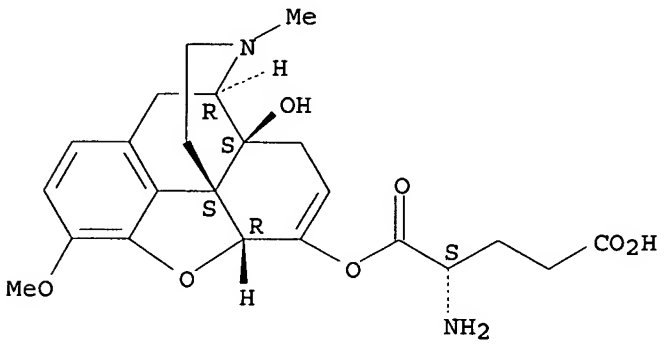
AB The present invention provides methods for altering controlled substances in a manner that decreases their potential for abuse. The novel compds. may be combined in tablets with suitable excipients or formulated in solution for oral delivery. When delivered by the oral route the controlled substance is released in a time-dependent manner (sustained release) by acid hydrolysis and/or enzymic cleavage. When administered by injection the controlled substance is released in a time-dependent manner (sustained release) by way of serum enzymes. Thus, peptide-narcotic conjugates were prepared by using e.g., hydrocodone and a tripeptide. The conjugate was 121% bioavailable.

IT 592541-12-3
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained-release pharmaceuticals for prevention of abuse of controlled substances)

RN 592541-12-3 CAPLUS

CN L-Glutamic acid, 1-[(5α)-6,7-didehydro-4,5-epoxy-14-hydroxy-3-methoxy-17-methylmorphinan-6-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L2 1 S L1
L3 15 S L1 FULL

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L4 3 S L3

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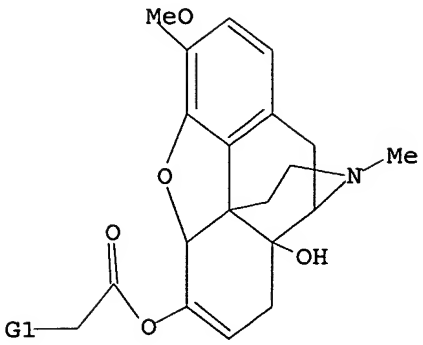
L1 HAS NO ANSWERS
L1 STR



G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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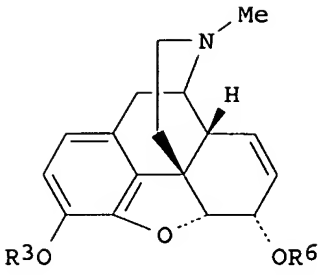
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:632772 CAPLUS
DOCUMENT NUMBER: 145:83563
TITLE: Preparation of opioid conjugates containing a nitrooxy moiety for use in pharmaceutical compositions for treating pain
INVENTOR(S): Smith, Maree Therese
PATENT ASSIGNEE(S): The University of Queensland, Australia
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066362	A1	20060629	WO 2005-AU1976	20051223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: AU 2004-907352 A 20041224
GI



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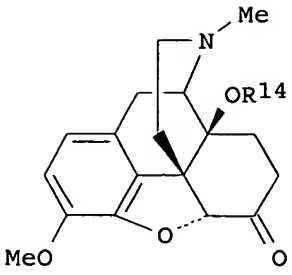


II

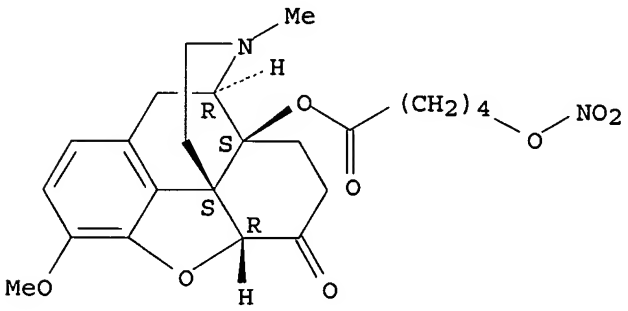
AB Opioid conjugates, such as Q-[OCO(CH2)nONO2]m [Q = opioid moiety; m = number of esterified hydroxyl groups on opioid moiety, i.e. 1, 2, etc.; n = 1, 4, etc.], were prepared for therapeutic use as analgesics acting as slow-release nitric oxide donors. Thus, morphine conjugate I (R3 = H, R6 = COCH2ONO2) was prepared via an esterification reaction in 59% yield of ClCOCH2ONO2 with morphine I (R3 = R6 = H) using dicyclohexylcarbodiimide in anhydrous CHCl3. Opioid conjugates I [R3 = H, R6 = CO(CH2)4ONO2; R3 = R6 = CO(CH2)4ONO2] and II [R14 = CO(CH2)4ONO2] were similarly prepared from morphine or oxycodone. The prepared opioid conjugates were assayed for antinociceptive activity in rats.

IT 894357-74-5P 894357-76-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrooxy opioid conjugates for therapeutic use as analgesics acting as slow-release nitric oxide donors)

RN 894357-74-5 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-3-methoxy-17-methyl-14-[[5-(nitrooxy)-1-oxopentyl]oxy]-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



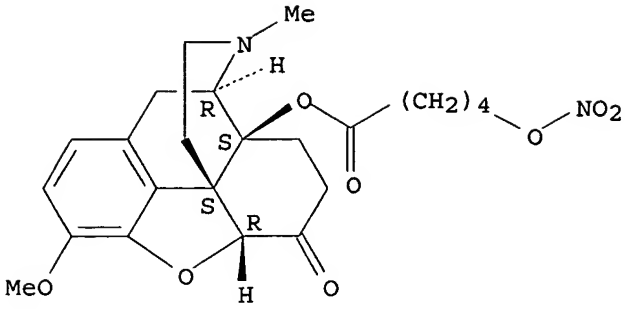
RN 894357-76-7 CAPLUS

CN Morphinan-6-one, 4,5-epoxy-3-methoxy-17-methyl-14-[[5-(nitrooxy)-1-oxopentyl]oxy]-, (5α)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 894357-74-5
CMF C23 H28 N2 O8

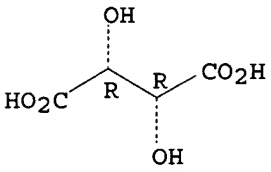
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

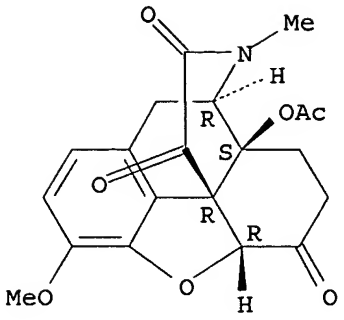
Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

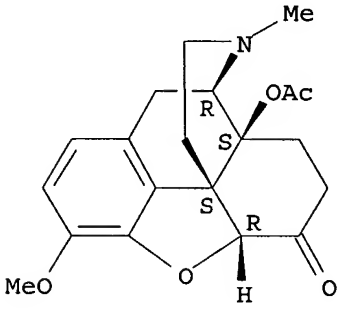
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:177388 CAPLUS
DOCUMENT NUMBER: 134:340585
TITLE: Photochemical N-demethylation of alkaloids
AUTHOR(S): Ripper, J. A.; Tiekink, E. R. T.; Scammells, P. J.
CORPORATE SOURCE: School of Biological and Chemical Sciences, Deakin University, Geelong, 3217, Australia
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(4), 443-445
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:340585
AB Certain alkaloids were observed to undergo N-demethylation processes under photochem. conditions. Tropine, acetyltropine, tropinone, and atropine were cleanly N-demethylated upon treatment with tetraphenylporphin, oxygen, and light. Dextromethorphan also underwent a N-demethylation reaction, but reacted further to afford an imine. In contrast, 14-acyloxycodeinones underwent a photochem. induced tandem N-demethylation-acyl migration.
IT 338743-02-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; photochem. N-demethylation of alkaloids)
RN 338743-02-5 CAPLUS
CN Morphinan-6,15,16-trione, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 70509-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(photochem. N-demethylation of alkaloids)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

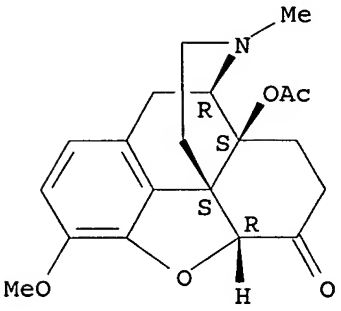


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:808517 CAPLUS
DOCUMENT NUMBER: 130:296860
TITLE: Studies on modifying synthetic method of new drug -- naltrexone
AUTHOR(S): Li, Weizhang; Yun, Liuhong; Qin, Boyi
CORPORATE SOURCE: Institute of Pharmacology and Toxicology, Academy of Military Medical Sciences, Beijing, 100850, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1998), 8(2), 141-146, 156
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The reaction conditions of N-demethylation of chloroformates, and the hydrolysis conditions of the intermediate amino formate were studied. The O-demethylation by BBr3 was successfully improved based on Lewis and soft-hard acid-base theory. Naltrexone was synthesized by improved BBr3 method with CNBr replaced by α-chloroethyl-chloroformate. The structures of two new compds. N-ethoxycarbonyl-14-acetylnoroxycodone and N-phenoxycarbonyl-14-acetylnoroxycodone were determined by m.p., elemental anal., 1H-NMR, MS and IR. The intermediate from the reaction of 14-acetyloxycodone with α-chloroethyl chloroformate can directly be hydrolyzed into secondary amine without separation with the yield 79%.

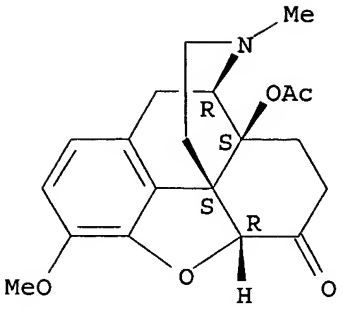
IT 70509-92-1P, 14-Acetyloxycodone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(modifying synthetic method of naltrexone)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

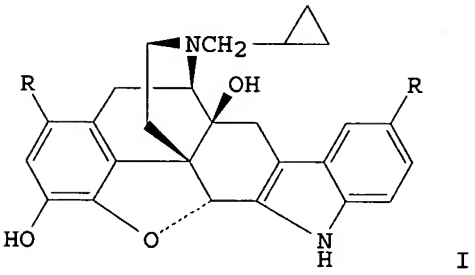


L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:162379 CAPLUS
DOCUMENT NUMBER: 124:261433
TITLE: N-Cubylmethyl substituted morphinoids as novel narcotic antagonists
AUTHOR(S): Cheng, Chen-Yu; Hsin, Ling-Wei; Lin, Yen-Pin; Tao, Pao-Luh; Jong, Ting-Ting
CORPORATE SOURCE: College Medicine, National Taiwan University, Taipei, Taiwan
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(1), 73-80
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-Cubylmethylnormorphine (I) and N-cubylmethylnoroxymorphone (II) were synthesized and found to be more potent ligands at the μ and κ opioid receptors than morphine and oxymorphone resp. In the guinea-pig ileum preparation, compds. I and II were characterized as opioid μ antagonists (Ke = 68 and 16 nM, resp.). Compound II also showed effective κ-antagonism (Ke = 22 nM). The narcotic antagonism activity of I has been confirmed by in vivo assays.
IT 70509-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-cubylmethyl substituted morphinoids as novel narcotic antagonists)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:134880 CAPLUS
DOCUMENT NUMBER: 120:134880
TITLE: Tritium labeling of naltrindole, a δ-receptor-selective opioid antagonist via 1-bromonaltrexone
AUTHOR(S): Toth, Geza; Otvos, Ferenc; Hosztafi, Sandor
CORPORATE SOURCE: Biol. Res. Cent., Hung. Acad. Sci., Szeged, H-6701, Hung.
SOURCE: Helvetica Chimica Acta (1993), 76(6), 2274-8
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

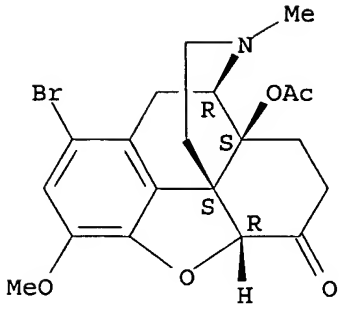
AB The synthesis of [1,5'-3H2]naltrindol (I, R = 3H) with labels at both the morphine skeleton and the indole moiety was carried out by catalytic tritiodehalogenation of 1,5'-dibromonaltrindole (I, R = Br) resulting in a specific activity of 46.1 Ci/mmol (1705 GBq/mmol). The brominated precursor was prepared by the Fischer indole synthesis starting from 1-bromonaltrexone and (4-bromophenyl)hydrazine.

IT 153036-98-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)

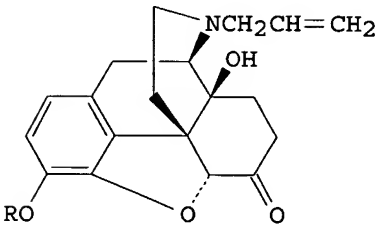
RN 153036-98-7 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-1-bromo-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



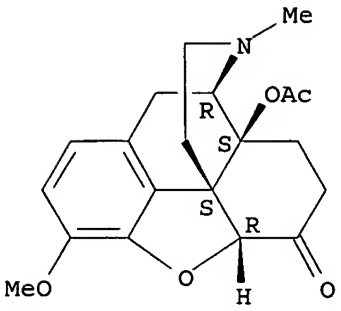
L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:634312 CAPLUS
DOCUMENT NUMBER: 117:234312
TITLE: O-Demethylation of opioid derivatives with methane sulfonic acid/methionine: application to the synthesis of naloxone and analogs
AUTHOR(S): Andre, Jean Daniel; Dormoy, Jean Robert; Heymes, Alain
CORPORATE SOURCE: Sanofi-Chimie, Sisteron, 04201, Fr.
SOURCE: Synthetic Communications (1992), 22(16), 2313-27
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:234312
GI



I

AB Naloxone (I, R = H) was obtained by demethylation of N-allylnoroxycodone (I, R = Me) with methanesulfonic acid/methionine. This reagent is an excellent substitute for boron tribromide. It was used for the synthesis of analogous derivs. with variable results.
IT 70509-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(attempted demethylation of)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

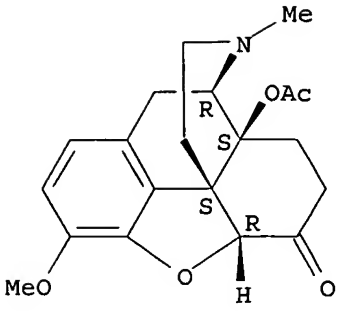


L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:167939 CAPLUS
DOCUMENT NUMBER: 116:167939
TITLE: A closer look at acetyl and pentafluoropropionyl derivatives for quantitative analysis of morphine and codeine by gas chromatography/mass spectrometry
AUTHOR(S): Grinstead, Gregory F.
CORPORATE SOURCE: Marshfield Med. Cent. Lab., Marshfield, WI, 54449-5795, USA
SOURCE: Journal of Analytical Toxicology (1991), 15(6), 293-8
CODEN: JATOD3; ISSN: 0146-4760
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Pentafluoropropionic anhydride (PFPA) and acetic anhydride derivs. of morphine and codeine were evaluated with respect to stability, chromatog., potential for anal. interferences by other opiates, and suitability of major fragment ions for anal. by GC/MS with deuterated internal stds. and selected ion monitoring (SIM). The PFPA derivs. showed acceptable stability and could be analyzed without interference from other opiates, but the codeine derivative had relatively poor chromatog. and its mass spectrum had only two irons suitable for SIM. The acetic anhydride derivs. were stable and chromatographed well, but diacetyl hydromorphone, interfered with anal. of morphine. 3-Monoacetylmorphine, a minor product of derivatization of morphine, prevented use of the abundant m/z 285 ion of derivatized D3-codeine as a qualifying ion in quant. assays. The acetic anhydride derivative of morphine cannot be distinguished from the corresponding derivative of the heroin metabolite 6-monoacetylmorphine.

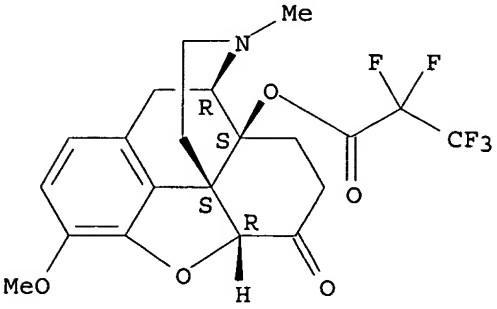
IT 70509-92-1 139933-52-1
RL: ANST (Analytical study)
(interference from, in codeine and morphine forensic determination in human urine by gas chromatog.-mass spectrometry)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

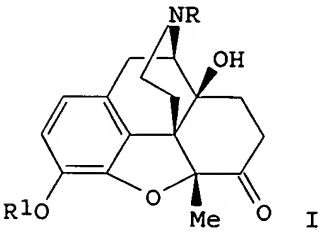


RN 139933-52-1 CAPLUS
CN Morphinan-6-one, 4,5-epoxy-3-methoxy-17-methyl-14-(2,2,3,3,3-pentafluoro-1-oxopropoxy)-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:102494 CAPLUS
DOCUMENT NUMBER: 114:102494
TITLE: 5-Methylnaloxone and 5-methylnaltrexone: synthesis and pharmacological evaluation
AUTHOR(S): Schmidhammer, Helmut; Mayer-Valkanover, Karin; Walla-Kugler, Michaela
CORPORATE SOURCE: Inst. Org. Pharm. Chem., Univ. Innsbruck, Innsbruck, A-6020, Austria
SOURCE: Helvetica Chimica Acta (1990), 73(7), 1986-90
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB 5-Methylnaloxone (I; R = CH2:CHCH2, R1 = H) and 5-methylnaltrexone (I; R = cyclopropylmethyl, R1 = H) were prepared from 5-methyloxycodone (I, R = R1 =

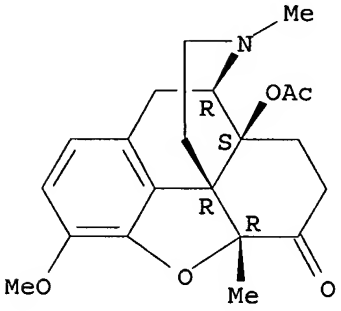
Me) in several steps. The products behaved as partial agonists in the AcOH writhing agonism and antagonism tests in mice. The opioid receptor binding affinities were also determined

IT 132364-94-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)

RN 132364-94-4 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-5,17-dimethyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

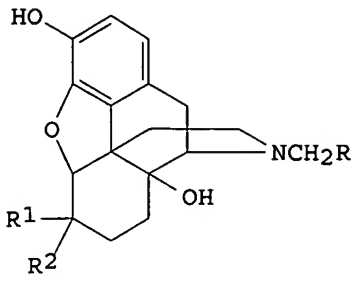


L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:532565 CAPLUS
DOCUMENT NUMBER: 113:132565
TITLE: Preparation of morphinan derivatives as analgesics
INVENTOR(S): Andre, Jean Daniel; Dormoy, Jean Robert; Heymes, Alain
PATENT ASSIGNEE(S): SANOFI, Fr.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 359647	A1	19900321	EP 1989-402472	19890911
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2636330	A1	19900316	FR 1988-11930	19880913
FR 2636330	B1	19901130		
JP 02121993	A2	19900509	JP 1989-236808	19890912
US 5071985	A	19911210	US 1989-406248	19890912
PRIORITY APPLN. INFO.:			FR 1988-11930 A	19880913

OTHER SOURCE(S): CASREACT 113:132565; MARPAT 113:132565
GI



I

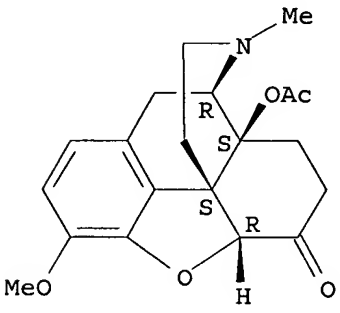
AB The title compds. [I; R = H, alkyl, cycloalkyl, alkenyl, etc.; R1 = H, R2 = OH or R1R2 = O], useful as analgesics (no data), were prepared via selective demethylation of the appropriate morphinan derivs. by treatment with MeSO3H or CF3SO3H in the presence of a sulfide. N-Allylnoroxycodone was treated with MeSO3H and Bu2S 5 h at 20°, 14 h at 40°, and 8 h at 60° to give naloxone.

IT 70509-92-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for analgesics)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

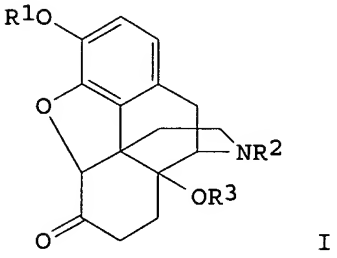
ACCESSION NUMBER: 1986:479211 CAPLUS
DOCUMENT NUMBER: 105:79211
TITLE: De-alkylation of alkaloids and intermediates
INVENTOR(S): Mazet de l'Escoraille Hoff, Christian; Vergely, Christian
PATENT ASSIGNEE(S): SANOFI, Fr.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 164290	A1	19851211	EP 1985-400996	19850521
EP 164290	B1	19890906		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2564838	A1	19851129	FR 1984-8273	19840525

FR 2564838	B1	19861107			
ES 543338	A1	19860101	ES 1985-543338		19850521
AT 46163	E	19890915	AT 1985-400996		19850521
DK 8502276	A	19851126	DK 1985-2276		19850522
DK 160048	B	19910121			
DK 160048	C	19910617			
CA 1244825	A1	19881115	CA 1985-482102		19850522
NO 8502091	A	19851126	NO 1985-2091		19850524
NO 164981	B	19900827			
NO 164981	C	19901205			
AU 8542843	A1	19851128	AU 1985-42843		19850524
AU 577379	B2	19880922			
JP 60258183	A2	19851220	JP 1985-113016		19850524
PRIORITY APPLN. INFO.:			FR 1984-8273	A	19840525
			EP 1985-400996	A	19850521

OTHER SOURCE(S): MARPAT 105:79211

GI



I

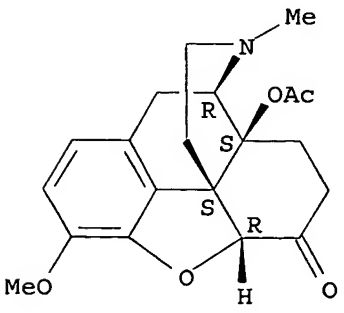
AB 14-Hydroxymorphinan derivs. I (R1 = Me, Ac; R2 = Me; R3 = Ac) were dealkylated by treatment with ClCO2Et in a solvent containing K2CO3 and hydrolysis of the resulting I (R1 = Me, Ac; R2 = CO2Et, R3 = Ac). Thus, a mixture of I (R1, R2 = Me, R3 = Ac), K2CO3, ClCO2Et, and CHCl3 was refluxed for 21 h to give I (R1 = Me, R2 = CO2Et, R3 = Ac), whose acid hydrolysis gave I (R1 = Me, R2 = R3 = H). ClCO2R4 (R4 = CH2CCl3, CHClMe, CH2CH:CH2, CH:CH2, Me) were also used (instead of ClCO2Et).

IT 70509-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(demethylation-ethoxycarbonylation of)

RN 70509-92-1 CAPLUS

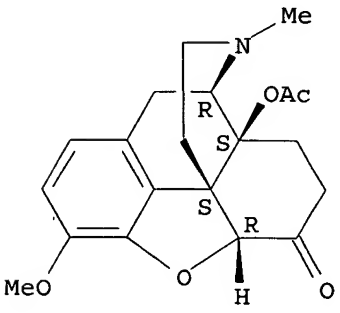
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:438717 CAPLUS
DOCUMENT NUMBER: 101:38717
TITLE: A new reagent for the selective, high-yield N-dealkylation of tertiary amines: improved syntheses of naltrexone and nalbuphine
AUTHOR(S): Olofson, R. A.; Martz, Jonathan T.; Senet, Jean Pierre; Piteau, Marc; Malfroot, Thierry
CORPORATE SOURCE: Dep. Chem., Pennsylvania State Univ., University Park, PA, 16802, USA
SOURCE: Journal of Organic Chemistry (1984), 49(11), 2081-2
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Reaction of tertiary amines with ClCO2CHClMe in ClCH2CH2Cl at reflux affords the intermediates, R2NCO2CHClMe (R = alkyl, R2 = cycloalkyl), which are converted to the desired salts, R2NH·HCl, just by heating in MeOH. The N-dealkylation reagent is made in 96% yield by mixing MeCHO with COCl2 in the presence of an R4N+ Cl- catalyst. The N-dealkylations are highly selective, mild, occur in high yield, and permit the presence of a variety of functionalities. The process is exemplified by the conversion of glaucine (I) to the phenanthrene (II) in 89% yield and by the N-demethylations of arecoline, O-acetyltropine, and 6-acetylcodeine in yields of 95-97%. The methodol. was used as part of a process for the transformation of oxycodone to the com. narcotic antagonist, naltrexone (58% overall yield) and to the prescription analgesic, nalbuphine (III) (69% overall yield). Aromatic tertiary amines also are N-dealkylated, although under more vigorous conditions.
IT 70509-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(demethylation of)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:215847 CAPLUS
DOCUMENT NUMBER: 98:215847
TITLE: Chemistry of opium alkaloids. Part XVII. On the total synthesis of the naloxones from 1-benzylisoquinolines via 1-bromo-2-(1-phenyltetrazol-5-yloxy)dihydrocodeinone
AUTHOR(S): Crabbendam, P. R.; Beyerman, H. C.; Lie, T. S.; Maat, L.
CORPORATE SOURCE: Lab. Org. Chem., Tech. Hogesch. Delft, Delft, 2628 BL, Neth.

SOURCE: Recueil: Journal of the Royal Netherlands Chemical Society (1983), 102(3), 135-9
CODEN: RJRSDK; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

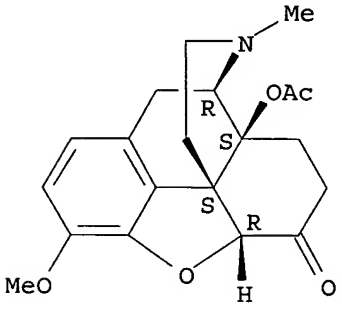
AB Dihydrocodeinone (I) was prepared in 17% yield from the benzylisoquinoline II in 7 steps via dihydrothebainone (III). Noroxycodone (IV, R = H, R1 = Me) was treated with H2C:CHCH2Br followed by demethylation with BBr3 to give naloxone (IV, R = H2C:CHCH2, R1 = H) in an improved procedure.

IT 70509-92-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1982:598429 CAPLUS
DOCUMENT NUMBER: 97:198429

TITLE: An improved method for the synthesis of naloxone

AUTHOR(S): Liu, Maoqin; Chi, Chuanjin; Zhu, Cuili
CORPORATE SOURCE: Fac. Pharm., Shanghai First Med. Coll., Shanghai, Peop. Rep. China

SOURCE: Yaoxue Xuebao (1982), 17(7), 546-8
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

GI For diagram(s), see printed CA Issue.

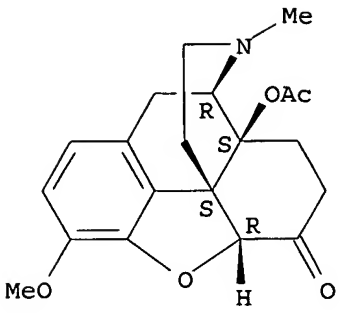
AB Acetylation of oxycodone (I, R = R1 = Me, R2 = H) followed by cyanation with BrCN gave I (R = CN, R1 = Me, R2 = Ac) which was demethylated, hydrolyzed and allylated to give the title compound (I, R = CH2:CHCH2, R1 = R2 = H) (53.3% total yield).

IT 70509-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyanation of)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

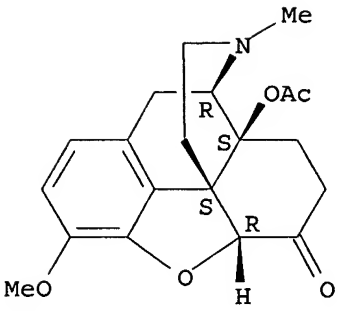


L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:199973 CAPLUS
DOCUMENT NUMBER: 96:199973
TITLE: 17-Substituted 6-deoxy-7,8-dihydro-6-α-methylnoroxymorphone narcotic antagonists
INVENTOR(S): Hermann, Edward Charles; Lee, Kyu Tai; Myers, Melvyn John
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 39066	A2	19811104	EP 1981-103124	19810425
EP 39066	A3	19811118		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4322426	A	19820330	US 1980-144542	19800428
CA 1150251	A1	19830719	CA 1981-376004	19810423
DK 8101869	A	19811029	DK 1981-1869	19810427
JP 56167687	A2	19811223	JP 1981-65087	19810427
PRIORITY APPLN. INFO.:			US 1980-144542 A	19800428

OTHER SOURCE(S): MARPAT 96:199973
GI For diagram(s), see printed CA Issue.
AB The narcotic antagonist and analgesic title compds. I [R = alkyl, CH2CH:CR3R4 (R3, R4 = H, Me), C4-7 cycloalkylmethyl, tetrahydrofurylmethyl, furylmethyl, thienylmethyl, MeC6H4CH2CH2, PhCH2CH2; R1 = H, Me, C2-4 acyl; R2 = H, C2-4 aryl] were prepared Thus, noroxycodone, prepared from oxycodone, underwent Wittig reaction with MeP+Ph3 Br- to give 6-deoxy-6-methylenenoroxycodone, which was hydrogenated followed by reaction with cyclopentanecarbonyl chloride and reduction to give I (R = cyclopentylmethyl R1 = M, R2 = H) (II). The analgesic DD50 of II in the anti-Straub tail test was 14.5 mg/kg.
IT 70509-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with cyanogen bromide)
RN 70509-92-1 CAPLUS
CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

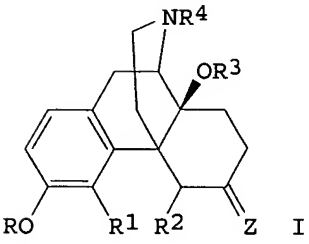
Absolute stereochemistry.



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:457263 CAPLUS
DOCUMENT NUMBER: 91:57263
TITLE: N-Dealkylation of N-alkyl-14-hydroxymorphinans and derivatives
INVENTOR(S): Olofson, Roy A.; Pepe, Joseph P.
PATENT ASSIGNEE(S): Research Corp., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4141897	A	19790227	US 1976-751570	19761220
PRIORITY APPLN. INFO.:			US 1976-751570 A	19761220

OTHER SOURCE(S): MARPAT 91:57263
GI



I

AB N-Alkylhydroxymorphinans I [R = C1-6 alkanoyl, phenylalkanoyl, C3-6 cycloalkylcarbonyl, C1-5 alkyl, C3-5 cycloalkyl, cycloalkylalkyl, phenylalkyl, alkyl- and alkoxyphenylalkyl; R1R2 = O, R1 = R2 = H; R3 = C1-6 alkanoyl, C3-6 cycloalkylcarbonyl, Bz, substituted Bz, phenylalkanoyl, alkyl- and alkoxyphenylalkanoyl; R4 = C1-6 alkyl, phenylalkyl, C3-6 cycloalkyl, cycloalkylalkyl; Z = O, H2] underwent N-dealkylation by acylation to give I [R4 = H2C:CHO2C, XH2CH2O2C, X2HCCH2O2C, X3CCH2O2C (X = halo)] and acid hydrolysis to give acid salts of I (R4 = H). Thus, acetylation of oxycodone (I; R = Me; R1R2 = O; R3 = HO; R4 = Me; Z = O) gave I (R3 = AcO), which was treated with H2C:CHO2CCl to give I (R = Me; R1R2 = O; R3 = AcO; R4 = CO2CH:CH2; Z = O) (II). Deacylation of II in CH2Cl2 containing HCl gave 14-acetylnoroxycodone hydrochloride (I.HCl; R = Me; R1R2 = O; R3 = AcO; R4 = H; Z = O) (III). III was neutralized and alkylated by cyclopropylmethyl bromide and allyl

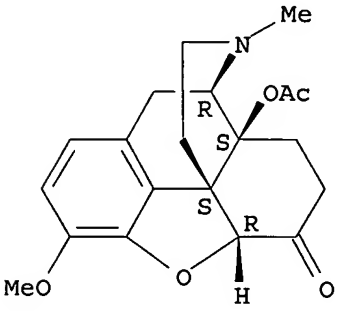
bromide to give I (R = Me; R1R2 = O; R3 = AcO; R4 = cyclopropylmethyl, allyl; Z = O).

IT 70509-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

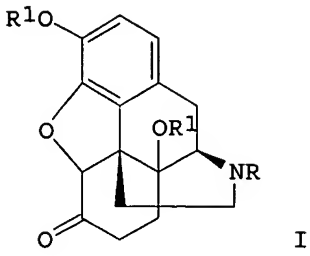
Absolute stereochemistry.



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:420861 CAPLUS
DOCUMENT NUMBER: 91:20861
TITLE: Oxynormorphone
INVENTOR(S): Hartenstein, Johannes; Satzinger, Gerhard
PATENT ASSIGNEE(S): Goedecke A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2727805	A1	19790104	DE 1977-2727805	19770621
FR 2395269	A1	19790119	FR 1978-18206	19780619
FR 2395269	B1	19830610		
FI 7801962	A	19781222	FI 1978-1962	19780620
NL 7806658	A	19781227	NL 1978-6658	19780620
HU 20158	O	19810627	HU 1978-WA351	19780620
HU 177923	P	19820128		
GB 2000137	A	19790104	GB 1978-27483	19780621
GB 2000137	B2	19820106		
JP 54009300	A2	19790124	JP 1978-75310	19780621

PRIORITY APPLN. INFO.: DE 1977-2727805 A 19770621
GI



I

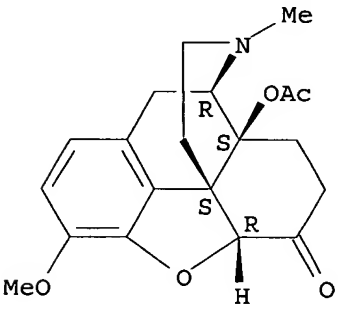
AB 7,8-Dihydro-1,4-hydroxymorphinone (I, R = R1 = R2 = H) was prepared from I (R = Me; R1 = , C1-4 alkyl, C7-9 aralkyl, C2-6 alkoxyalkyl, acyl; R2 = H, acyl) via I (R = COCH2CCl3). Thus, I (R = Me, R1 = R2 = Ac) was treated with ClCO2CCH2CCl3 to give I (R = COCH2CCl3, R1 = R2 = Ac), which was treated with Zn in HOAc and I (R = R1 = Ac; R2 = H) hydrolyzed to give I (R = R1 = R2 = H).

IT 70509-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with trichloroethyl chloroformate)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1963:414867 CAPLUS

DOCUMENT NUMBER: 59:14867

ORIGINAL REFERENCE NO.: 59:2589h,2590a-c

TITLE: Gas chromatography of the morphine alkaloids and the related compounds

AUTHOR(S): Yamaguchi, Sadao; Seki, Isao; Okuda, Shigenobu; Tsuda, Kyosuke

CORPORATE SOURCE: Sankyo Co. Ltd., Tokyo

SOURCE: Chemical & Pharmaceutical Bulletin (1962), 10(8), 755-7
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The relative retention times, R.R.T., of 43 compds., including the morphine alkaloids and sinomenine and its derivs., were correlated with their structural features. Thus, 0.5-1% acetone solns. of the samples were chromatographed on a 6 ft. + 8 mm. column, on 1% SE-30 on Gas-Chrom P (100-140 mesh). Column temperature was 185°, cell temperature 160°, flash heater 280°, Ar pressure 2 kg./cm2. Under these conds. the standard sample of codeine (I) = 1 R.R.T. (4.71 min.). The

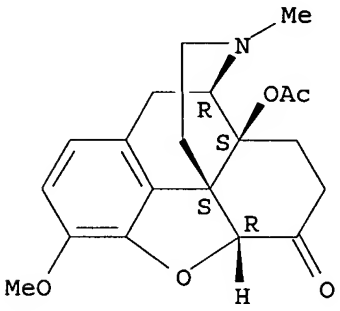
following compds. had the R.R.T. given: deoxy-I E, 0.64; dihydrodeoxy-I D, 0.66; iso-I, 0.74; tetrahydrodeoxy-I, 0.77; pseudocodeinone (pseudo-II), 0.80; 14-hydroxydihydrodeoxy-I D, 0.84; dihydrodeoxythebainone (dihydrodeoxy-III), 0.86; allopseudo-I, 0.91; 14-hydroxydeoxy-I E, 0.91; 14-hydroxydihydrodeoxy-I D, 0.93; dihydro-I, 0.96; neopine, 0.97; I Me ether, 0.98; pseudo-I, 1.03; dihydro-6α-thebainol Me ether, 1.07; dihydroiso-I, 1.07; dionine, 1.09; nor-I, 1.09; 14-hydroxytetrahydrodeoxy-I, 1.13; dihydro-II, 1.15; dihydro-6β-thebainol Me ether, 1.20; dihydroIII Me ether, 1.22; 14-hydroxydihydrodeoxy-I C, 1.30; 14-hydroxydihydro-I, 1.35; 14-hydroxy-I, 1.35; 8,14-dihydroxydihydro-II, 1.37; 14-hydroxy-II, 1.38; 14-hydroxydihydro-II, 1.39; 14-acetoxy-II, 1.41; 14-hydroxydihydroiso-I, 1.43; thebaine, 1.48; 8-methoxy-14-hydroxydihydro-II, 1.48; dihydro-III ϕ, 1.52; 14-acetoxydihydro-II, 1.52; dihydro-III, 1.61; N-propargyl-14-hydroxydihydronor-II, 1.69; sinomenine Me ether (IV Me ether), 1.87; 14-hydroxydihydronor-II, 1.91; dihydro-IV, 2.00; 14-hydroxydihydro-III, 2.45; IV, 2.83. Morphine was applied in 0.5% MeOH solution and had R.R.T. 1.17.

IT 70509-92-1, Codeinone, 7,8-dihydro-14-hydroxy-, acetate (chromatography of)

RN 70509-92-1 CAPLUS

CN Morphinan-6-one, 14-(acetyloxy)-4,5-epoxy-3-methoxy-17-methyl-, (5α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3            7 S L1 FULL

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L4           17 S L3

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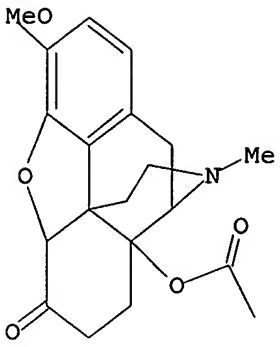

G1 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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